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NEWS 7 SEP 27 SWETSCAN will no longer be available on STN

NEWS 8 OCT 28 KOREAPAT now available on STN

NEWS 9 NOV 18 Current-awareness alerts, saved answer sets, and current search transcripts to be affected by CERAB, COMPUAB, ELCOM, and SOLIDSTATE reloads

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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 28 NOV 2004 HIGHEST RN 790189-55-8 DICTIONARY FILE UPDATES: 28 NOV 2004 HIGHEST RN 790189-55-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

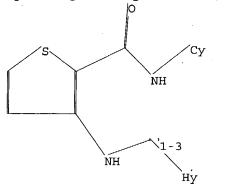
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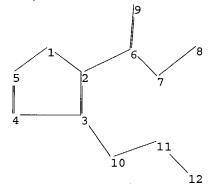
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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

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chain nodes :

6 7 8 9 10 11 12

ring nodes : 1 2 3 4 5 chain bonds :

2-6 3-10 6-7 6-9 7-8 10-11 11-12

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

3-10 6-7 6-9 7-8 10-11 11-12

exact bonds :

1-2 1-5 2-3 2-6 3-4 4-5

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS 11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full FULL SEARCH INITIATED 11:35:10 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1136 TO ITERATE

100.0% PROCESSED 1136 ITERATIONS

26 ANSWERS

SEARCH TIME: 00.00.01

L2 26 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 155.84 156.05

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FILE COVERS 1907 - 30 Nov 2004 VOL 141 ISS 23 FILE LAST UPDATED: 28 Nov 2004 (20041128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

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5 L2
=> d ibib abs histr tot
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ABS ----- GI and AB
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APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
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CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
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FAM ----- AN, PI and PRAI in table, plus Patent Family data FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
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IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
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OCC ----- Number of occurrence of hit term and field in which it occurs
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codes. For a list of the display field codes, enter HELP DFIELDS at
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PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
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STD ----- BIB, IPC, and NCL
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
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IMAX ----- MAX, indented with text labels
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OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
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HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
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HITRN ----- HIT RN and its text modification
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HITSEQ ----- HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
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ENTER DISPLAY FORMAT (BIB):bib

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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN
AN 2004:610149 CAPLUS
D1 141:157028
Preparation of 2-carboxamido-3-aminothiophene derivatives for treatment of hyperproliferative disorder
Nymne, Graham Michael: Doyle, Kevin: Ahmed, Saleh: Li, An-hu; Keily, John Fräser; Rasamison. Chrystelle: Pegg, Neil Anthony: Saba, Imaad; Thomas, Claire; Smyth, Don; Sadid, Shazia: Newton, Gary; Dawson, Graham; Crew, Andrew Philip; Castelano, Arlindo Lucas
O osi Pharmaceuticals, Inc., USA
PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
L8 English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2004063330 A2 20040729 WO 2004-US1188 20040106
W: AR, AE, AG, AL, AL, AM, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BB, BR, BW, BY, BY, BZ, BZ, CA, CA, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GH, RH, RH, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KF, KP, KP, KR, KR, KZ, KZ, KZ, KZ, LC, LK, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MX, MX, MX
US 200418612 A1 20040923 US 2004-752342 20040106
PRAI US 2003-526358P P 20031202
OS MARPAT 141:157028
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L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:913160 CAPLUS
DN 139:399863
T1 Process for the preparation of a hydrate of an anthranilic acid
derivative
IN Hayman, David Frank; Wright, Michael
PA Xenova Limited, UK
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
TP Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003:095447 A1 20031120 WO 2003-GB2060 20030513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FT, GB, GD, GE, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, IN, IN, NO, NZ, AN, PH, PI, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZW
RW: GM, GM, KE, LS, MM, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, EF, FT, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CT, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-379755P P 20020514

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:950057 CAPLUS
D1 100:16647
TI Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases
Mediated di
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ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 5
ACCESSION NUMBER: 2004:610149 CAPLUS
DOCUMENT NUMBER: 141:157020
TITLE: Parameter of 2-carboxamido-3-aminothiophene derivatives for treatment of hyperproliferative disorder
INVENTOR(5): Wynne, Graham Michael; Doyle, Kevin; Ahmed, Saleh; Li,

An-hu: Keily, John Fraser; Rasamison, Chrystelle;
Pegg, Neil Anthony; Saba, Imaad: Thomas, Claire;
Smyth, Don: Sadiq, Shazia: Newton, Gary; Dawson,
Graham; Crew, Andrew Philip; Castelano, Arlindo Lucas
Osi Pharmaceuticals, Inc., USA
PCT Int. Appl., 49 pp.
CODEN: PIXXD2
Patent
English

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	DATE							
WO	2004	10633	30		A2		2004	0729		WO 2	004-	US11	88		2	0040	106		
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		CR,	CU,	CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	DZ,	EC,	EC,	EE,	EE,	EG.		
		ES,	ES,	FI,	FI,	GB,	GD,	GE,	GE,	GH,	GH,	GH,	GM,	HR,	HR.	HU.	HU.		
		ID,	IL,	IN,	IS,	JP,	JP,	KE,	KE,	KG,	KG,	KP,	KP,	ΚP,	KR,	KR.	KZ.		
		KZ,	KZ,	LC,	LK,	LR,	LS,	LS,	LT.	LU.	LV.	MA.	MD.	MD,	MG.	MK.	MN.		
		MO		MSZ											,	,	,		

MW, MX, US 2004186124 US 2004-752342 US 2003-438152P 20040106 P 20030106 A1 20040923 PRIORITY APPLN. INFO.:

> US 2003-524972P P 20031125

US 2003-526358P P 20031202

OTHER SOURCE(S): MARPAT 141:157028

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

728033-98-5 CAPLUS

2-Thiophenecarboxamide, N-(4-bromo-3-methylphenyl)-3-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

728033-99-6 CAPLUS

2-Thiophenecarboxamide, 3-[(4-quinolinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

728034-00-2 CAPLUS

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. I [wherein Rl = 4-F3COC6H5, 4-ClC6H4, 4-Br-3-MeC6H4, 2,2,3,3-tetrafluorobenzodioxan-6-yl; R2 = quinolin-4-yl, 2-MeMRC-pyridyl-4-yl, pyrrolo[2,3-b]pyridin-3-yl, pyrrolo[2,3-b]pyridin-4- yl; R3 = alkyl; and pharmaceutically acceptable salts or N-oxides thereof]

yl: R3 = alkyl; and pharmaceutically acceptable salts or N-oxides thereof]
were prepared as c-Kit tyrosine kinase inhibitors. For example, amidation of Ms 3-amino-2-thiophenecarboxylate with 4-trifluoromethoxyaniline, followed by condensation with quinoline-4-carboxaldehyde, gave II. I showed better activity inhibiting c-Kit kinase than the nearest similar thiophene compds. in the art. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperpoliferative disorders (no data).

IT 728033-96-3P 728033-96-5P 728033-99-6F 728034-06-F 728034-00-2P 728034-01-97 728034-06-F 728034-01-9P 728034-01

(Uses)
(preparation of 2-carboxamido-3-aminothiophene derivs. as c-Kit kinase inhibitors for treatment of hyperproliferative disorder)
728033-96-3 CAPIUS
2-Thiophenecarboxamide, 3-[(4-quinolinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 2-Thiophenecarboxamide, N=(4-chlorophenyl)-3-[(4-quinolinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 728034-01-3 CAPLUS
CN 2-Pyridinecarboxamide,
4-{[[2-[(4-bromo-3-methylphenyl)amino]carbonyl]-3thienyl]amino]methyl]-N-methyl- {9CI} (CA INDEX NAME)

RN 728034-04-6 CAPLUS
CN 2-Pyridinecarboxamide,
N-methyl-4-f[[2-t[(2,2,3,3-tetrafluoro-2,3-dihydro1,4-benzodioxin-6-yl)amino]carbonyl]-3-thienyl]amino]methyl]- (9CI) (CA
INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN

728034-06-8 CAPLUS
2-Pyridinecarboxamide, 4-[[[2-[[(4-chlorophenyl)amino]carbonyl]-3-thienyl]amino]methyl]-N-methyl- (9CI) (CA\_INDEX NAME)

RN 728034-07-9 CAPLUS
CN 2-Thiophenecarboxamide,
N-(4-chlorophenyl)-3-f(lH-pyrrolo[2,3-b]pyridin-3ylmethyl)amino]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
2-Thiophenecarboxamide, 3-[(lH-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]-N[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 728034-14-8 CAPLUS .
CN 2-Thiophenecarboxamide,
N-(4-chlorophenyl)-3-(1H-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]- (9CI) (CA INDEX NAME)

728034-15-9 CAPLUS 2-Thiophenecarboxamide, 3-[(1H-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

728034-08-0 CAPLUS 2-Thiophenecarboxamide, N-(4-bromo-3-methylphenyl)-3-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]- (9CI) (CA INDEX NAME)

728034-09-1 CAPLUS
2-Thiophenecarboxamide, 3-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

728034-11-5 CAPLUS

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

728034-16-0 CAPLUS
2-Thiophenecarboxamide, 4-methyl-3-[(4-quinolinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

728034-17-1 CAPLUS 2-Thiophenecarboxamide, N-[4-chlorophenyl]-4-methyl-3-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

728034-18-2 CAPLUS
2-Thiophenecarboxamide, N-(4-bromo-3-methylphenyl)-4-methyl-3-{{4-quinolinylmethyl}amino}- (9CI) (CA INDEX NAME)

Page 11

Susannah

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 728034-19-3 CAPLUS
CN 2-Thiophenecarboxamide,
4-methyl-3-f(4-quinolinylmethyl)amino]-N-{2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

728034-20-6 CAPLUS
2-Thiophenecarboxamide, 3-[{(1-oxido-4-quinoliny1)methy1]amino}-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:950057 CAPLUS DOCUMENT NUMBER: 140:16647 Preparation of 2-aminopyridin

INVENTOR (S):

140:1664/ Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel;

Germain,

J.;

Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory

Handley, Michael; Huang, Qi; Kim, Tae-seong; Li,
Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod
F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang,
Kevin; Yuan, Chester Chenguang
Amgen Inc., USA
U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S.
Ser. No. 46,681.
CODEN: USXXXCO
Patent

PATENT ASSIGNEE(S): SOURCE:

Patent English 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
						-									-		
US	2003	2251	06		A1		2003	1204		US 2	002-	1979	74		2	0020	717
US	2003	1253	39		A1		2003	0703		US 2	002-	4668	1		2	0020	110
ZA	2003	0051	97		А		2004	0319		ZA 2	003-	5197			2	0030	704
WO	2004	0074	58		A1		2004	0122		WO 2	003-	US22	417		2	0030	715
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		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN.	TR,	TT.	TZ.
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ.	BY.
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US 2001-323764P P 20010919 US 2002-46681 A2 20020110

OTHER SOURCE(S):

MARPAT 140:16647

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

(Continued)

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

II

The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; RI = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl), which are effective for prophylaxis and AB

Timent of diseases and other maladies or conditions involving, cancer and the like, were prepared Thus, the title compound II was prepared from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde.

The compds. I showed inhibition of KDR kinase at < 50  $\mu$ M. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical composition comprising the compound I is claimed. 453560-98-0P 453561-00-P 453561-01-8P

ΙT

453561-02-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis

ogenesis
mediated diseases)
45356-98-0 captus
2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

453561-00-7 CAPLUS 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-{(4-pyridinylmethyl)amino}-,

Page 12

Susannah

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN mono(trifluoroacetate) (9CI) (CA INDEX NAME) (Continued) CM 1 CRN 453560-98-0 CMF C17 H14 C1 N3 O S

CM 2 76-05-1 C2 H F3 O2

453561-01-8 CAPLUS 2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

453561-02-9 CAPLUS
2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2003:913160 CAPLUS COUMENT NUMBER: 139:399863 TITLE:

139:399863
Process for the preparation of a hydrate of an anthranilic acid derivative Hayman, David Frank: Wright, Michael Xenova Limited, UK PCT Int. Appl., 37 pp.. CODEN: PIXXD2
Patent INVENTOR (S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PRIO

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
						_													
WO	2003	0954	47		A1		2003	1120	1	WO 2	003-	GB20	60		2	0030	513		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚŻ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,		
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,		
		ΤZ,	UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
								IT,											
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
RITY	APP	LN.	INFO	. :					- 1	US 2	002-	3797	59P		P 21	0020	514		

OTHER SOURCE(S): ER SOURCE(S): MARPAT 139:399863

A hydrate of an acid addition bis-salt of an anthranilic acid derivative

produced by a process, which comprises: (a) combining, in any order, the anthranilic acid derivative, a pharmaceutically acceptable organic

anthranilic acid derivative, a phatmaceutically acceptable original solvent, an excess of water and a pharmaceutically acceptable strong acid to form a mixture; (b) warming the mixture until a clear solution forms; (c) filtering the solution while it is warm, to yield a filtrate; and (d) recovering the hydrate as defined above from the filtrate. The hydrate has a defined

number
of moles of water of crystallization and possesses better storage
stability and
dissoln. characteristics than conventionally produced hydrates of such
acid addition bis-salts. Anthranilic acid derivs. and hydrates of their
bis-salts are useful as inhibitors of P-glycoprotein for modulating
P-glycoprotein mediated multidrug resistance in tumor treatment. For
example, bis-mesylate hexahydrate of quinoline-3-carboxylic acid
(2-(4-(2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinoline-2-yl)-ethyl)phenylcarbamoyl)-4,5-dimethoxy-phenyl)-amide was prepared, using acetone

antisolvent for recovery of hydrate from the filtrate.

206872-34-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
 (anthranilic acid derivs. and their salt hydrates as modulators of multidrug resistance in tumor treatment)

206872-34-6 CAPLUS
3-Quinolinecarboxamide, N-[2-[{4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-thienyl]- (9CI) (CA INDEX

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) см 1 453561-01-8 C17 H15 N3 O S

CM 2

CRN CMF 76-05-1 C2 H F3 O2

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE 1

FORMAT

L3 ANSWER 4 OF 5 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

CAPLUS COPYRIGHT 2004 ACS on STN
2002:658116 CAPLUS
137:201332
Preparation of heterocyclylalkylamine derivatives as
remedies for angiogenesis mediated diseases
Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker,
Shon; Cai, Guolin; Croghan, Michael; Dipietro, INVENTOR (S): Lucian;

Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie: Handley, Michael: Huang, Qi: Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu: Patel, Vinod F.; Smith, Leon M.;

PATENT ASSIGNEE(S): SOURCE:

Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang Ampen Inc., USA PCT Int. Appl., 502 pp. CODEN: PIXXD2 Fatent

DOCUMENT TYPE: English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN						ICAT					ATE	
wo	2002	0664	70													0020	111
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG.	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
								GB,									
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
US	2003	1253	39		A1		2003	0703	1	US 2	002-	4668	1		2	0020	110
CA	2434	277	_		AA		2002	0829		CA 2	002-	2434	277		2	0020	111
BR	2002	0064	35		A		2003	0923		BR 2	002~	6435			2	0020	111
EΡ	1358	184			A1		2003	1105		EP 2	002-	7173	25		2	0020	111
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
								MK,									
ΕE	2003	0032	4		A.		2003	1215		EE 2	003-	324			2	0020	111
JР	2004	5314 80051	84		т2		2004	1014		JP 2	002-	5659	84		2	0020	111
ZA	2003	0051	97		А		2004	0319		ZA 2	003-	5197			2	0030	704
МО	2003	30031	81		A		2003	0911		NO 2	003~	3181			2	0030	711
TTI	API	0031 LN.	INFO	.:					- 1	US 2	-001	2613	39P		P 2	0010	112
											001-						
									1	US 2	002-	4668	1		A 2	0020	110

WO 2002-US743

W 20020111

MARPAT 137:201332 OTHER SOURCE(S):

PRI

ANSWER 4 OF 5. CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 45356-98-0 CAPLUS -2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)amino]-(3C1) (CA INDEX NAME)

453561-00-7 CAPLUS . , /
2-Thiophenecarboxamide, N-{4-chlorophenyl}-3-[(4-pyridinylmethyl)amino}-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 453560-98-0 CMF C17 H14 C1 N3 O S

СМ 2

76-05-1 C2 H F3 O2

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

$$R^2 = \begin{bmatrix} A^1 - XR^1 \\ A^2 - YR \end{bmatrix}$$

Title compds. [I; Al, A2 independently = C, N; A = 5-, or 6-membered partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or embered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:2NR3, C:ZNR3; R4; Z = O, S; Y = N:CH, NR5(CRGR7), RBN(R5)(CRGR7), NR5(CRGR7), RBN(R5) (CRGR7), NR5(CRGR7), RBN(R5) (CRGR7), NR5(CRGR7), RBN(R5) (CRGR7), PR0 (CRGR7), RBN(R5) (CRGR7), PR0 (CRGR7), RBN(R5) (CRGR7), PR0 (CRGR7), PR

.11-membered heterocycly1; R1 = 6-10-membered (un)substituted ary1, 5-, or 6-membered (un)substituted heterocycly1, 9-11 membered (un)substituted fused heterocycly1, cycloalky1, cycloalkeny1: R2 = H, halo, oxo, SH, COOH.

fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH,
CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl,
alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7
independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl;
etc.] are prepared and are effective for prophylaxis and treatment of
diseases, such as angiogenesis mediated diseases. The invention
encompasses novel compds., analogs, prodrugs and pharmaceutically
acceptable derivs. thereof, pharmaceutical compns. and methods for
prophylaxis and treatment of diseases and other maladies or conditions
involving, cancer and the like. The subject invention also relates to
processes for making such compds. as well as to intermediates useful in
such processes. Thus, the title compound II was prepared from Me
3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine
carboxaldehyde via coupling reaction.
453560-98-0F 453561-00-7F 453561-01-8F
453561-02-9F

43350-v9-vr 43501-vo., https://doi.org/10.1001

(preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

453561-01-8 CAPLUS 2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]- (9CI) (CA 2-Thiophene INDEX NAME)

453561-02-9 CAPLUS
2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 453561-01-8 CMF C17 H15 N3 O S

СМ 2

76-05-1 C2 H F3 O2

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN PRIORITY APPLN. INFO.: WO 1996-GB2552 (Continued) 2 A 19961018

> GB 1997-17576 A 19970819

WO 1997-GB2885 W 19971017

OTHER SOURCE(S):

MARPAT 128:321568

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Anthranilic acid derivs. I [R, R], R2 = H, alkyl, OH, alkoxy, halo, NO2, amino; or R1R2 = OCH2O or OCH2CH2O; R3 = H, alkyl; R4 = alkyl, or CH2 or CH2CH2 bridged to either Ph ring; R5 = H, OH, alkyl; X = bond, O, S, SICH2)p, OCH2D; p = 1-6; R6 = H, alkyl, alkoxy; q = 0 or 1; Ar = (un) saturated carbo- or heterocyclic; R7, R6 = H, (un) substituted alkyl, alkoxy; OH, halo, Ph, NHOH, NO2, amino, SH, alkylthio; or R7R8 = CH:CHCH:CH or OCH2O; n = 0, 1; m = 0-6] and their pharmaceutically acceptable salts are disclosed. The compds. are inhibitors of P-qlycoprotein, and may thus be used, inter alia, as modulators of multidrug resistance in the treatment of multidrug-resistant cancers, for example, to potentiate the cytotoxicity of a cancer drug. For instance, amidation of 3-quinolinecarboxylic acid with the corresponding aminothiophene derivative via the acid chloride gave title compound II

yield. In a test for potentiation of doxorubicin toxicity to AR 1.0 cells, II had a potentiation index of 142 at 30 nM. 206872-34-6P 206872-34-8-0P and 206872-34-6P 206872-34-0P and 206872-34-0P 20687

logical
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid derivs: as multi-drug resistance
modulators)
206972-34-6 CAPLUS
3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-thienyl]- (9CI) (CA INDEX
NAME)

206872-38-0 CAPLUS

2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(]]]-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-thienyl]- (CA INDEX

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 1998:268489 CAPLUS DOCUMENT NUMBER: 128:321568
TITLE: Anthranilic acid dariotic Anthranilic acid derivatives as multi drug resistance

modulators Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason Terry; INVENTOR (S):

Williams.

Susannah; Maximen, Levi Michael; et al. Xenova Ltd., UK; Ryder, Hamish; Ashworth, Pl Anthony; Roe, Michael John; Brumwell, Julie PATENT ASSIGNEE(S): Philip

Elizabeth;

Jason

Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Terry; Williams, Susannah; Maximen, Levi Michael PCT Int. Appl., 203 pp. CODEN: PIXXD2 Patent

SOURCE:

DOCUMENT TYPE: LANGUAGE English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION.					
PATENT NO. KIND DATE APPLICATION NO.					
WO 9817648 . A1 19980430 WO 1997-GB2885					
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH,					
DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP,					
KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,	MW, MX, NO, NZ,				
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,					
US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,	TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE,					
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,	CG, CI, CM, GA,				
GN, ML, MR, NE, SN, TD, TG					
CA 2268403 AA 19980430 CA 1997-2268403 AU 9746339 A1 19980515 AU 1997-46339	19971017				
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,				
IE, FI BR 9711935 A 19990824 BR 1997-11935					
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NO 9901836 A 19990617 NO 1999-1836	19990416				
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US 6218393 B1 20010417 US 1999-284642					
HK 1019330 A1 20010112 HK 1999-103773					

ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE

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Susannah

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SINCE FILE TOTAL ENTRY SESSION

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